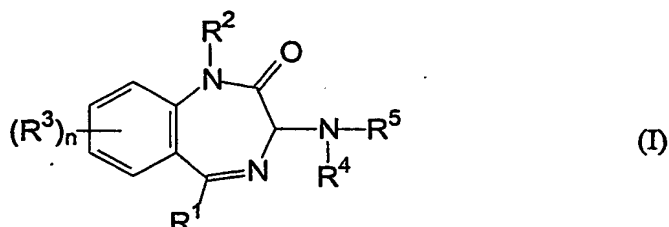


CLAIMS

1. Use of a benzodiazepine derivative of formula (I), or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for use in treating
 5 or preventing an RSV infection



wherein:

- R¹ represents C₁₋₆ alkyl, aryl or heteroaryl;
- R² represents hydrogen or C₁₋₆ alkyl;
- 10 - each R³ is the same or different and represents halogen, hydroxy, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, amino, mono(C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, nitro, cyano, -CO₂R', -CONR'R'', -NH-CO-R', -S(O)R', -S(O)₂R', -NH-S(O)₂R', -S(O)NR'R'' or -S(O)₂NR'R'', wherein each R' and R'' is the same or different and represents
 15 hydrogen or C₁₋₆ alkyl;
- n is from 0 to 3;
- R⁴ represents hydrogen or C₁₋₆ alkyl;
- R⁵ represents C₁₋₆ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ hydroxyalkyl)-, heteroaryl-(C₁₋₆ hydroxyalkyl)-, carbocyclyl-(C₁₋₆ hydroxyalkyl)-, heterocyclyl-(C₁₋₆ hydroxyalkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or -XR⁶;
- X represents -CO-, -S(O)- or -S(O)₂-; and
- 20 - R⁶ represents C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ alkyl)-

O-, heteroaryl-(C₁₋₆ alkyl)-O-, carbocyclyl-(C₁₋₆ alkyl)-O-, heterocyclyl-(C₁₋₆ alkyl)-O- or -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C₁₋₆ alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)- or
 5 heterocyclyl-(C₁₋₆ alkyl)-.

2. Use according to claim 1 wherein:

- each R³ is the same or different and represents halogen, hydroxy, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, amino, mono(C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, nitro, cyano, -CO₂R',
 10 -CONR'R'', -NH-CO-R', -S(O)R', -S(O)₂R', -NH-S(O)₂R' or -S(O)NR'R'', wherein each R' and R'' is the same or different and represents hydrogen or C₁₋₆ alkyl;
- R⁵ represents C₁₋₆ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)- or -XR⁶;
 15
- X represents -CO-, -S(O)- or -S(O)₂-; and
- R⁶ represents C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)- or -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C₁₋₆ alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)- or heteroaryl-(C₁₋₆ alkyl)-.
 20

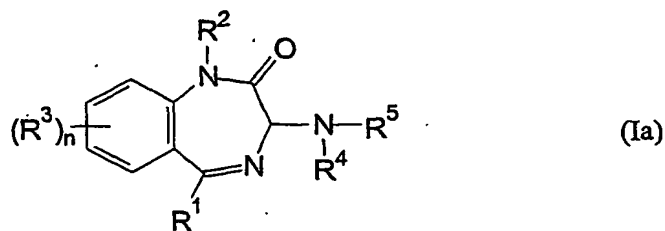
25 3. Use according to either claim 1 or claim 2, wherein R¹ is C₁₋₂ alkyl or aryl.

4. Use according to any one of the preceding claims wherein R² is hydrogen.

30 5. Use according to any one of the preceding claims wherein R³ is halogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, amino, mono(C₁₋₄ alkyl)amino or di(C₁₋₄ alkyl)amino.

6. Use according to claim 5, wherein R^3 is fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl, C_{1-2} haloalkoxy, amino, mono(C_{1-2} alkyl)amino or di (C_{1-2} alkyl)amino.
- 5 7. Use according to any one of the preceding claims wherein R^4 is hydrogen or C_{1-2} alkyl.
8. Use according to any one of the preceding claims wherein R^5 is C_{1-6} alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-4} alkyl)-, heteroaryl-(C_{1-4} alkyl)-, carbocyclyl-(C_{1-4} alkyl)-, heterocyclyl-(C_{1-4} alkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)- or -XR⁶.
- 10 9. Use according to claim 8, wherein R^5 is C_{1-4} alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, phenyl-(C_{1-2} alkyl)-, heteroaryl-(C_{1-2} alkyl)-, phenyl-C(O)-C(O)-, heteroaryl-C(O)-C(O)- or -XR⁶.
- 15 10. Use according to claim 9, wherein R^5 is C_{1-4} alkyl, phenyl, thienyl, furanyl, isoxazolyl, pyridyl, cyclopentyl, cyclohexyl, benzothienyl, dihydrobenzofuranyl, phenyl-CH₂-, furanyl-CH₂-, phenyl-C(O)-C(O)-, thienyl-C(O)-C(O)- or -XR⁶.
- 20 11. Use according to any one of the preceding claims wherein X is -CO- or -S(O)₂-.
- 25 12. Use according to any one of the preceding claims wherein, when R^6 is a group -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C_{1-4} alkyl, aryl, carbocyclyl, heterocyclyl, aryl-(C_{1-4} alkyl)- or heteroaryl-(C_{1-4} alkyl)-.
- 30 13. Use according to claim 12, wherein when R^6 is a group -NR'R'' each R' and R'' is the same or different and represents hydrogen, C_{1-4} alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl-CH₂-.

14. Use according to claim 13, wherein when R^6 is a group $-NR'R''$ and one of R' and R'' is hydrogen.
- 5 15. Use according to any one of the preceding claims wherein R^6 is C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-4} alkyl)-, heteroaryl-(C_{1-4} alkyl)-, carbocyclyl-(C_{1-4} alkyl)-, heterocyclyl-(C_{1-4} alkyl)-, aryl-(C_{1-4} hydroxyalkyl)-, heteroaryl-(C_{1-4} hydroxyalkyl)-, carbocyclyl-(C_{1-4} hydroxyalkyl)-, heterocyclyl-(C_{1-4} hydroxyalkyl)-, aryl-(C_{1-4} alkyl)-O-, heteroaryl-(C_{1-4} alkyl)-O-, carbocyclyl-(C_{1-4} alkyl)-O-, heterocyclyl-(C_{1-4} alkyl)-O- or $-NR'R''$.
- 10 16. Use according to claim 15, wherein R^6 is C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, phenyl-(C_{1-2} alkyl)-, phenyl-(C_{1-2} alkyl)-O-, heteroaryl-(C_{1-2} alkyl)-, phenyl-(C_{1-2} hydroxyalkyl)-, heteroaryl-(C_{1-2} hydroxyalkyl)- or $-NR'R''$.
- 15 17. Use according to claim 16, wherein R^6 is C_{1-4} alkyl, C_{1-4} alkoxy, phenyl, naphthyl, dihydrobenzofuranyl, benzodioxinyl, 9H-fluoren-9-onyl, indolyl, thienyl, furanyl, oxazolyl, isoxazolyl, pyrazolyl, pyridyl, benzothienyl, benzofuranyl, cyclopentyl, cyclohexyl, piperazinyl, piperidinyl, morpholinyl, phenyl-(C_{1-2} alkyl)-, phenyl- $CH_2-CH(OH)-$, phenyl- $CH(OH)-CH_2-$, phenyl-(C_{1-2} alkyl)-O-, 1H-benzo[d]imidazol-2(3H)-onyl or $-NR'R''$.
- 20 18. Use according to any one of the preceding claims wherein the benzodiazepine derivative of formula (I) is a benzodiazepine derivative of formula (Ia):
- 25



wherein:

- R¹ is phenyl or methyl;
- R³ is methyl or chlorine;
- 5 - n is 0 or 1;
- R⁴ is hydrogen or methyl;
- R⁵ is phenyl-CH₂-, furanyl-CH₂-, thienyl-C(O)-C(O)- or -XR⁶;
- X is -CO- or -S(O)₂-; and
- R⁶ is C₁₋₄ alkyl, C₁₋₄ alkoxy, phenyl, naphthyl, dihydrobenzofuranyl,
10 benzodioxinyl, 9H-fluoren-9-onyl, indolyl, thienyl, furanyl, oxazolyl,
isoxazolyl, pyrazolyl, pyridyl, benzothienyl, benzofuranyl, cyclopentyl,
cyclohexyl, piperazinyl, piperidinyl, morpholinyl, phenyl-(C₁₋₂ alkyl)-,
phenyl-CH₂-CH(OH)-, phenyl-CH(OH)-CH₂-, phenyl-(C₁₋₂ alkyl)-O-, 1H-
benzo[d]imidazol-2(3H)-onyl or -NR'R'' wherein each R' and R'' is the same
15 or different and represents hydrogen, C₁₋₄ alkyl, phenyl, thienyl, cyclohexyl,
cyclopentyl or phenyl-(CH₂)-,

the phenyl moiety in the group R¹ being unsubstituted or substituted by a single fluorine, chlorine, C₁₋₂ alkyl, C₁₋₂ alkoxy, C₁₋₂ alkylthio, C₁₋₂ haloalkyl or C₁₋₂ haloalkoxy substituent;

- 20 the aryl moieties in the groups R⁵ and R⁶ being unsubstituted or substituted by 1, 2 or 3 substituents selected from fluorine, chlorine, bromine, iodine, C₁₋₄ alkyl, C₂₋₄ acyl, hydroxy, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, amino, mono(C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, nitro, -CO₂R', -S(O)₂R' and -S(O)₂NH₂, wherein R' represents
25 C₁₋₂ alkyl;

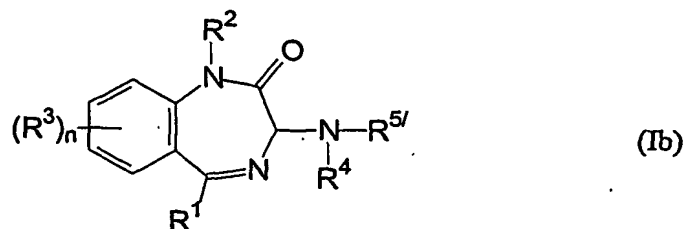
the heteroaryl moieties in the groups R⁵ and R⁶ being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C₁₋₂ alkyl, C₁₋₂ haloalkyl and di(C₁₋₂ alkyl)amino; and

- 30 the heterocyclyl and carbocyclyl moieties in the R⁶ group being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl and nitro.

19. Use according to any one of the preceding claims, wherein the medicament is for use in treating a patient who is a child under two years of age.
- 5 20. Use according to claim 19 wherein said child suffers from chronic lung disease.
- 10 21. Use according to any one of claims 1 to 18 wherein the medicament is for use in preventing RSV infection in an infant less than six years of age who was born after 32 weeks of gestation or less.
22. Use according to any one of the preceding claims, wherein the medicament is suitable for intranasal or intrabronchial administration.
- 15 23. Use according to any one of the preceding claims, wherein the medicament further comprises an anti-inflammatory compound or an anti-influenza compound.
24. Use according to claim 23 wherein the anti-inflammatory compound is budesonide or fluticasone.
- 20 25. Use according to claim 23 wherein the anti-inflammatory compound is a leukotriene antagonist, phosphodiesterase 4 inhibitor or TNF alpha inhibitor.
26. Use according to claim 23 wherein the anti-inflammatory compound is an interleukin 8 or interleukin 9 inhibitor.
- 25 27. Use according to any one of claims 1 to 22 wherein the medicament is coadministered with an anti-inflammatory compound, as defined in any one of claims 24 to 26, or an anti-influenza compound.
- 30 28. A method of treating a patient suffering from or susceptible to an RSV infection, which method comprises administering to said patient an effective

amount of a benzodiazepine derivative of formula (I), as defined in any one of claims 1 to 19, or a pharmaceutically acceptable salt thereof.

29. A method according to claim 28, wherein said patient is a patient as defined
5 in any one of claims 19 to 21.
30. A method according to claim 28 or 329, wherein the benzodiazepine derivative or salt thereof is administered intranasally or intrabronchially.
- 10 31. An inhaler or nebuliser containing a medicament which comprises
(a) a benzodiazepine derivative of formula (I), as defined in any one of claims 1 to 18, or a pharmaceutically acceptable salt thereof, and
(b) a pharmaceutically acceptable carrier or diluent.
- 15 32. A product comprising a compound of formula (I), or pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 18 and an anti-inflammatory compound, as defined in any one of claims 24 to 26, or an anti-influenza compound.
- 20 33. Use of a product according to claim 32 in the manufacture of a medicament for use in the treatment of concomitant RSV and influenza infections.
34. Use of a compound of formula (I), or pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 18 in the manufacture of a
25 medicament for use in the treatment of human metapneumovirus, measles, parainfluenza viruses, mumps, yellow fever virus (B5 strain), Dengue 2 virus or West Nile virus.
35. A benzodiazepine derivative of formula (Ib), or a pharmaceutically
30 acceptable salt thereof



wherein:

- R^1 represents C_{1-6} alkyl, aryl or heteroaryl;
- R^2 represents hydrogen, C_{1-6} alkyl;
- 5 - each R^3 is the same or different and represents halogen, hydroxy, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, amino, mono(C_{1-6} alkyl)amino, di(C_{1-6} alkyl)amino, nitro, cyano, $-CO_2R'$, $-CONR'R''$, $-NH-CO-R'$, $-S(O)R'$, $-S(O)_2R'$, $-NH-S(O)_2R'$, $-S(O)NR'R''$ or $-S(O)_2NR'R''$, wherein each R' and R'' is the same or different and represents
- 10 hydrogen or C_{1-6} alkyl;
- n is from 0 to 3;
- R^4 represents hydrogen or C_{1-6} alkyl;
- R^5 represents C_{3-6} alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)-, heterocyclyl-(C_{1-6} alkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or $-X'$, provided that when R^5 is heteroaryl it is not 2-quinaldyl or 6-chloro-pyrazinyl, when R^5 is heteroaryl-(C_{1-6} alkyl)- it is not 2-indolylmethyl, 2-(3-indolyl)ethyl or 2-furanylmethyl, when R^5 is aryl it is not unsubstituted phenyl and when R^5 is aryl-(C_{1-6} alkyl)- it is not unsubstituted phenyl-(C_{1-2} alkyl)- or 4-chlorophenyl-(C_{2-3} alkyl)-;
- 15 - X' represents $-CO-R^6$, $-S(O)-R^{6//}$ or $-S(O)_2-R^{6///}$;
- R^6 represents C_1 alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)-, heterocyclyl-(C_{1-6} alkyl)-, aryl-(C_{1-6} alkyl)-O-, heteroaryl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or $-NR'R''$ wherein each R' and R'' is the same or different and
- 20
- 25

represents hydrogen, C₁₋₆ alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)- or heterocyclyl-(C₁₋₆ alkyl)-, provided that (a) when R^{6'} is aryl it is not unsubstituted naphthyl, unsubstituted phenyl, mono-halophenyl, 4-methylphenyl, 4-methoxyphenyl, 4-hydroxyphenyl, 4-trifluoromethylphenyl, 4-nitrophenyl, 4-cyanophenyl, 4-*n*-propylphenyl, 4-*t*-butylphenyl, 4-*n*-pentylphenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 3-trifluoromethylthiophenyl, 3,4-dimethoxyphenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3,4,5,6-pentafluorophenyl, 4-chloro-2-aminophenyl or 4-1,1-dimethylethylphenyl, (b) when R^{6'} is heteroaryl it is not 2-pyrrolyl, 2-pyrazinyl, 2-quinaldyl, 2-quinoxaliny, 1-methylindolyl, 2-methyl-indolyl, 2-benzofuranyl, 2-benzothieryl, 3-thienyl, 3-indolyl, unsubstituted 2-indolyl, 5-fluoroindol-2-yl, 5-chloroindol-2-yl, 5-bromoindol-2-yl, 5-hydroxyindol-2-yl or 5-methoxyindol-2-yl, (c) when R^{6'} is aryl-(C₁₋₆ alkyl)- it is not 4-thianaphthene-(CH₂)-, unsubstituted phenyl-(CH₂)-, 4-trifluoromethylphenyl-(CH₂)-, unsubstituted phenyl-(CH₂)₃-, monotrifluoromethylphenyl-(CH₂)₂-, 3-methoxyphenyl-(CH₂)₂-, 4-chloro-2-aminophenyl-(CH₂)₂-, 2,4-dichlorophenyl-(CH₂)₂-, monochlorophenyl-(CH₂)₂-, 2,4-trifluoromethylphenyl-(CH₂)₂-, 4-cyanophenyl-(CH₂)₂- or 3-cyanophenyl-(CH₂)₂-, (d) when R^{6'} is heteroaryl-(C₁₋₆ alkyl)- it is not indolyl-(CH₂)_x-, wherein x is 1, 2, 3, unsubstituted furanyl-(CH₂)₂-, unsubstituted thienyl-(CH₂)₃-, (e) when R^{6'} is carbocyclyl it is not cyclohexyl, (f) when R^{6'} is carbocyclyl-(C₁₋₆ alkyl)- it is not unsubstituted cyclohexyl-(CH₂)₁₋₃-, (g) when R^{6'} is heterocyclyl it is not N-pyrrolidinyl or 2-dihydrobenzofuranyl, (h) when R^{6'} is aryl-(C₁₋₆ alkyl)-O- it is not unsubstituted phenyl-(CH₂)-O-, and (i) when R' is hydrogen, R'' is not unsubstituted phenyl, 4-halophenyl, 3-halophenyl, methoxyphenyl, nitrophenyl, 2-chlorophenyl, 4-methylphenyl, dichlorophenyl, 3,5-dimethylphenyl, 3-methylphenyl, 3-cyanophenyl, 3-aminophenyl, 3-aminocarbonylphenyl, 3-benzoic acid, 3-benzoic acid ethyl ester, 6-amino-3-pyridyl, 5-(2-chloro)pyridyl, 5-(2-methoxy)pyridyl, 5-indanyl, unsubstituted cyclohexyl, 1,1-dimethylethyl, unsubstituted phenyl-CH₂-, unsubstituted naphthyl or benzotriazol-3-yl and when R' is methyl, R'' is not

cyclopropylbenzene;

- $R^{6//}$ represents C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)-, heterocyclyl-(C_{1-6} alkyl)-, aryl-(C_{1-6} alkyl)-O-, heteroaryl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or $-NR'R''$ wherein each R' and R'' is the same or different and represents hydrogen, C_{1-6} alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)- or heterocyclyl-(C_{1-6} alkyl)-; and
- $R^{6///}$ represents C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)-, heterocyclyl-(C_{1-6} alkyl)-, aryl-(C_{1-6} alkyl)-O-, heteroaryl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or $-NR'R''$ wherein each R' and R'' is the same or different and represents hydrogen, C_{1-6} alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)- or heterocyclyl-(C_{1-6} alkyl)-, provided that when $R^{6///}$ is aryl it is not 4-methylphenyl, provided that the compound of formula (Ib) is not N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-acetamide.

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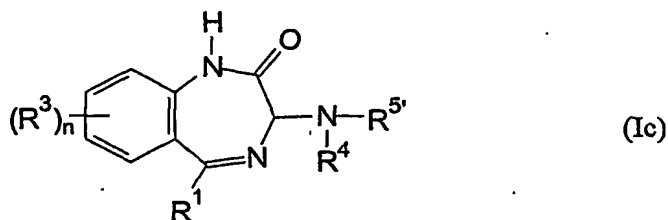
36. A benzodiazepine derivative according to claim 35 wherein:

- $R^{5/}$ is C_{3-6} alkyl, C_{3-6} cycloalkyl, heterocyclyl, C_{3-6} cycloalkyl-(C_{1-6} alkyl), aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or $-X'$;
- X' is $-CO-R^{6/}$, $-S(O)-R^{6//}$ or $-S(O)_2-R^{6///}$;
- $R^{6/}$ is C_1 alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, heterocyclyl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or $-NR'R''$ wherein each R' and R'' is the same or different and represents hydrogen, C_{1-6} alkyl, C_{3-6} cycloalkyl, heterocyclyl, carbocyclyl-(C_{1-6} alkyl)- or heterocyclyl-(C_{1-6} alkyl)-;
- $R^{6//}$ represents C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6}

30

- alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ alkyl)-O-, heteroaryl-(C₁₋₆ alkyl)-O-, carbocyclyl-(C₁₋₆ alkyl)-O-, heterocyclyl-(C₁₋₆ alkyl)-O- or -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C₁₋₃ alkyl, heterocyclyl, heteroaryl, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)- or heterocyclyl-(C₁₋₆ alkyl)-; and
- R^{6'''} is C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₃₋₆ cycloalkyl, heterocyclyl, C₃₋₆ cycloalkyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ alkyl)-O-, heteroaryl-(C₁₋₆ alkyl)-O-, carbocyclyl-(C₁₋₆ alkyl)-O-, heterocyclyl-(C₁₋₆ alkyl)-O- or -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C₁₋₆ alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl), carbocyclyl-(C₁₋₆ alkyl)- or heterocyclyl-(C₁₋₆ alkyl)-.

37. A benzodiazepine derivative according to claim 35 or claim 36 wherein R² is hydrogen.
38. A benzodiazepine derivative of formula (Ic), or a pharmaceutically acceptable salt thereof,



wherein:

- R¹ is phenyl or methyl;
- R³ is methyl or chlorine;
- n is 0 or 1;
- R⁴ is hydrogen or methyl;
- R^{5'} is phenyl-CH₂- thienyl-C(O)-C(O)- or -X';
- X' is -CO-R^{6'}, -CONR'R'', -S(O)₂R^{6'''} or -S(O)₂-NR₇R₈; and

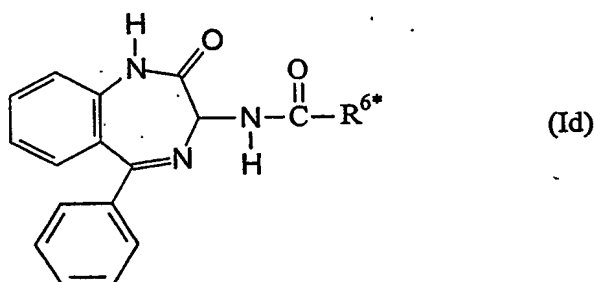
- $R^{6'}$ is C_1 alkyl, C_{1-4} alkoxy, benzodioxinyl, 9H-fluoren-9-onyl, furanyl, oxazolyl, isoxazolyl, pyrazolyl, pyridyl, cyclopentyl, piperazinyl, piperidinyl, morpholinyl, phenyl- $CH_2-CH(OH)-$, phenyl- $CH(OH)-CH_2-$, phenyl- $(C_2 \text{ alkyl})-O-$ or 1*H*-benzo[d]imidazol-2(3*H*)-only;
- 5 - $R^{6''}$ is C_{1-4} alkyl, C_{1-4} alkoxy, phenyl, naphthyl, dihydrobenzofuranyl, benzodioxinyl, 9H-fluoren-9-onyl, indolyl, thienyl, furanyl, oxazolyl, isoxazolyl, pyrazolyl, pyridyl, benzothienyl, benzofuranyl, cyclopentyl, cyclohexyl, piperazinyl, piperidinyl, morpholinyl, phenyl- $(C_{1-2} \text{ alkyl})-$, phenyl- $CH_2-CH(OH)-$, phenyl- $CH(OH)-CH_2-$, phenyl- $(C_{1-2} \text{ alkyl})-O-$ or 1*H*-benzo[d]imidazol-2(3*H*)-only;
- 10 - each R' and R'' is the same or different and represents hydrogen, C_{1-4} alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl- $(CH_2)-$; and
- each R_1 and R_2 is the same or different and represents hydrogen, C_{1-4} alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl- $(CH_2)-$, wherein:
- 15 the phenyl moiety in the group R^1 being unsubstituted or substituted by a single fluorine, chlorine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl or C_{1-2} haloalkoxy substituent;
- the aryl moieties in the groups $R^{5'}$, $R^{6'}$ and $R^{6''}$ being unsubstituted or substituted by 1, 2 or 3 substituents selected from fluorine, chlorine, bromine,
- 20 iodine, C_{1-4} alkyl, C_{2-4} acyl, hydroxy, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-6} haloalkyl, C_{1-4} haloalkoxy, amino, mono(C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino, nitro, $-CO_2R'$, $-S(O)_2R'$ and $-S(O)_2NH_2$, wherein R' represents C_{1-2} alkyl;
- the heteroaryl moieties in the groups $R^{5'}$, $R^{6'}$ and $R^{6''}$ being
- 25 unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} haloalkyl and di(C_{1-2} alkyl)amino;
- the heterocyclyl and carbocyclyl moieties in the $R^{6''}$ group being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl and nitro;
- 30 the aryl, heteroaryl and carbocyclyl moieties in the R' and R'' being unsubstituted or substituted by one or two substituents selected from fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl and

nitro; and

the aryl, heteroaryl and carbocyclyl moieties in the R_1 and R_{11} being unsubstituted or substituted by one or two substituents selected from fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl and

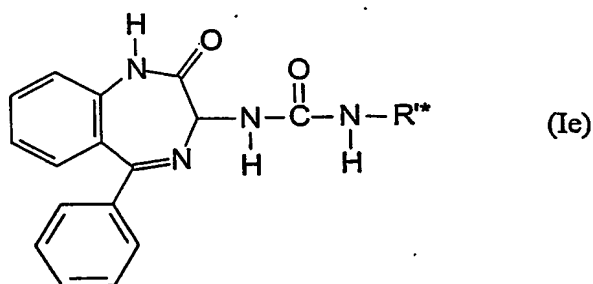
nitro,
provided that the compound of formula (Ic) is not N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-acetamide.

39. A benzodiazepine derivative of formula (Id), or pharmaceutically acceptable salts thereof



wherein R^{6*} is an aryl group which is unsubstituted or substituted by 1, 2 or 3 substituents selected from halogen, C_{1-6} alkyl, C_{2-7} acyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, nitro, cyano, carbamoyl, mono(C_{1-6} alkyl)carbamoyl, di(C_{1-6} alkyl)carbamoyl, amino, mono(C_{1-6} alkyl)amino, di(C_{1-6} alkyl)amino, $-CO_2R'$, $-CONR'R''$, $-S(O)R'$, $-S(O)_2R'$, $-S(O)NR'R''$, $-S(O)_2NR'R''$, $-NH-S(O)_2R'$ or $-NH-CO-R'$, wherein each R' and R'' is the same or different and represents hydrogen or C_{1-6} alkyl, provided that R^{6*} is not a 4-chlorophenyl group.

40. A benzodiazepine derivative of formula (Ie) or a pharmaceutically acceptable salts thereof



wherein R'* is an aryl group which is unsubstituted or substituted by 1
or 2 substituents selected from fluorine, chlorine, bromine, C₁₋₄ alkyl, C₁₋₄
alkoxy, C₁₋₄ alkylthio, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy and nitro.

41. 1,1-Diethyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
urea
N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
propionamide
N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-butyramide
N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
isobutyramide
2,2-Dimethyl-N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-
yl)-propionamide
Cyclopentanecarboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide
Cyclohexanecarboxylic acid 2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide
Piperidine-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide
Morpholine-4-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide
4-Methyl-piperazine-1-carboxylic acid -(2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide
Benzo[b]thiophene-3-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide

- Isoxazole-5-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- Benzo[b]thiophene-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 5 N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-methanesulfonamide
- Propane-1-sulfonic acid-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- Butane-1-sulfonic acid-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 10 N-(7-Chloro-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-isobutyramide
- N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-isonicotinamide
- 15 N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-nicotinamide
- N-(7-Chloro-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-acetamide
- (S)-2-Methoxy-4-nitro-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 20 (S)-1-(2-Fluoro-phenyl)-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
- 2-Chloro-4-methanesulfonyl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 25 1-(4-Nitro-phenyl)-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
- 4-Methanesulfonyl-2-methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 2-Methoxy-4-methylsulfonyl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 30 4-Methanesulfonyl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide

- N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)terephthalamic acid methyl ester
- 5 5-Acetyl-2-ethoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 3-Methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-terephthalamic acid methyl ester
- 2-Methylsulfanyl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 4-Amino-5-chloro-2-methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 10 4-Methanesulfonyl-2-methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- (S)-2,4,5-Trifluoro-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 15 (S)-5-Acetyl-2-ethoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-benzamide
- 2-Methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-5-sulfamoyl-benzamide
- 1-tert-Butyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
- 20 1-Cycloheptyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
- 1-Ethyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
- 1-Butyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
- 25 4,5-Dimethyl-furan-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)amide
- Piperidine-1-carboxylic acid (7-chloro-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- N-[5-(3-Chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]acetamide
- 30 N-[5-(3-Chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-isobutyramide

- Cyclohexanecarboxylic acid [5-(3-chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide
- Piperidine-1-carboxylic acid [5-(3-chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide
- 5 N-[5-(3-Chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]isonicotinamide
- N-[5-(3-Methoxy-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-isobutyramide
- Cyclohexanecarboxylic acid [5-(3-methoxy-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide
- 10 Piperidine-1-carboxylic acid [5-(3-methoxy-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide
- Piperidine-4-carboxylic acid [5-(3-methoxy-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide
- 15 Cyclohexanecarboxylic acid (8-chloro-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 6-Morpholin-4-yl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-nicotinamide
- Pyridine-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 20 6-Fluoro-4H-benzo[1,3]dioxine-8-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 1H-Pyrazole-4-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 25 6-Dimethylamino-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-nicotinamide
- 2-Ethoxy-naphthalene-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 9-Oxo-9H-fluorene-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 30 2-Oxo-2,3-dihydro-benzimidazole-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide

- (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)carbamic acid
tert-butyl ester
- (S)-6-Fluoro-4H-benzo[1,3]dioxine-8-carboxylic acid (2-oxo-5-phenyl-2,3-
dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide
- 5 (S)-4,5-Dibromo-furan-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-
benzo[e][1,4]diazepin-3-yl)-amide
- (S)-3-Methoxy-naphthalene-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-
1H-benzo[e][1,4]diazepin-3-yl)-amide
- (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-carbamic acid
10 methyl ester
- (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-carbamic acid
ethyl ester
- (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-carbamic acid
isobutyl ester
- 15 2-Oxo-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-2-
thiophene-2-yl-acetamide,
or a pharmaceutically acceptable salt thereof.
42. A benzodiazepine derivative according to any one of claims 35 to 41 for use
20 in a method of treating the human or animal body.
43. A pharmaceutical composition comprising a benzodiazepine derivative
according to any one of claims 35 to 41, or a pharmaceutically acceptable salt
thereof, and a pharmaceutically acceptable diluant or carrier.
- 25 44. A composition according to claim 43 comprising an optically active isomer of
a benzodiazepine derivative according to any one of claims 35 to 41.
45. A composition according to claim 43 or 44 which is in the form of a tablet,
30 troche, lozenge, aqueous or oily suspension, dispersible powders or granules.